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सत्यमेव जयते

Government Of India
Patent Office
Todi Estates, 3rd Floor,
Lower Parel (West)
Mumbai – 400 013

THE PATENTS ACT, 1970

IT IS HEREBY CERTIFIED THAT, the annex is a true copy of Application and Provisional Specification filed 19/09/2003 in respect of Patent No.987/MUM/2003 of SUN PHARMACEUTICAL INDUSTRIES LTD., ACME PLAZA, ANDHERI-KURLA ROAD, ANDHERI (E), MUMBAI – 400 059, INDIA.

This certificate is issued under the powers vested in me under Section 147(1) of the Patents Act, 1970.

Dated this 30th day of August 2004.

(R.BHATTACHARYA)
ASST. CONTROLLER OF PATENTS & DESIGNS

FORM 1

THE PATENTS ACT, 1970
(39 OF 1970)

APPLICATION FOR GRANT OF A PATENT
(See sections 5(2), 7, 54 and 135 and rule 33A)

We, SUN PHARMACEUTICAL INDUSTRIES LTD., ACME PLAZA, ANDHERI-KURLA ROAD, ANDHERI (E), MUMBAI-400059, MAHARASHTRA, INDIA

AN INDIAN COMPANY

hereby declare -

(i) that we are in possession of an invention titled "**PHARMACEUTICAL COMPOSITION**".

(ii) that the provisional specification relating to this invention is filed with this application.

(iii) that there is no lawful ground of objection to the grant of a patent to us.

We, further declare that the inventors for the said invention are (1) ZALA, Yashoraj Rupsinh; (2) DHARMADHIKARI, Nitin Bhalachandra; both of SUN PHARMACEUTICAL ADVANCED RESEARCH CENTRE, Bombay College of Pharmacy Building, 2nd Floor, C.S.T. Road, Kalina, Santacruz (E), Mumbai - 400098, Maharashtra, India; and (3) SINGH, Amarjit, of SUN PHARMACEUTICAL INDUSTRIES LIMITED, Acme Plaza, Andheri-Kurla Road, Andheri (East), Mumbai – 400059, Maharashtra, India; all Indian nationals.

We claim the priority from the applications filed in convention countries, particulars of which are as follows: Not Applicable

We state that the said invention is an improvement in or modification of the invention, the particulars of which are as follows and of which we are the applicant: Not Applicable

We state that the application is divided out of our application, the particular of which are given below and pray that this application deemed to have been filed under section 16 of the Act: Not Applicable

That we are the assignee of the true and first inventors.

That our address for service in India is as follows-

Dr. RATNESH SHRIVASTAVA,
INTELLECTUAL PROPERTY CELL,
SUN PHARMACEUTICAL INDUSTRIES LTD,
ACME PLAZA, ANDHERI-KURLA ROAD,
ANDHERI (E), MUMBAI-400 059, MAHARASHTRA, INDIA,
TELEPHONE NO-8397632, FACSIMILE NO- 8212010.

69/MUM-WTO/2003
987/MUM/2003
Dtd. 19/9/2003

Received No. 3010 / in Cash
19/9/03
Entry No. 4822 in the register of Valuables, Bombay.
N.K. Mohanty
Cashier

Following declaration was given by the inventors-
We, the true and first inventors for this invention declare that the applicant herein is our assignee.

Dated this 19th day of September, 2003

(Signatures) 1. _____
DHARMADHIKARI, Nitin Bhalachandra

2. _____
ZALA, Yashoraj Rupsinh

3. _____
SINGH, Amarjit

That to the best of our knowledge, information and belief, the fact and matters stated herein are correct and that there is no lawful ground of objection to the grant of a patent to us on this application.

Following are the attachment with the application:

1) Provisional specification (3 copies)
2) Fee Rs. 3000 in cheque bearing No. 434013 dated 12/09/2003

We request that a patent may be granted to us for the said invention

Dated this 19th day of September, 2003.

(Signature) .....

DILIP SHANGHVI
CHAIRMAN AND MANAGING DIRECTOR
SUN PHARMACEUTICAL INDUSTRIES LTD.

To

The Controller of Patents,
The Patent Office,
Mumbai - 400 013.

FORM 2

THE PATENTS ACT, 1970
(39 OF 1970)

PROVISIONAL SPECIFICATION
(See section 10)

PHARMACEUTICAL COMPOSITION

SUN PHARMACEUTICAL INDUSTRIES LTD.

A company incorporated under the laws of India having their office at ACME PLAZA, ANDHERI-KURLA ROAD, ANDHERI (E), MUMBAI-400059, MAHARASHTRA, INDIA.

The following specification describes the nature of this invention.

PHARMACEUTICAL COMPOSITION

FIELD OF THE INVENTION

The present invention relates to a pharmaceutical composition for the controlled release of one or more active ingredients.

The present invention relates to the following -

(1) A controlled drug delivery system comprising –

a. a core comprising an active ingredient composition and a swellable composition, and

b. a coating surrounding the core,

wherein the controlled drug delivery system is designed in a manner such that when the coating contacts an aqueous environment, the swellable composition swells, causing the coating to be removed partially, allowing the active ingredient release to occur from the partially exposed surface, the release being initiated without a substantial delay after the controlled drug delivery system contacts the aqueous environment; and further optionally comprising an outer enteric coat such that the contact of inner coat with the aqueous environment occurs after the system is emptied from the stomach into the small intestine.

(2) A controlled drug delivery system as defined in (1) above, wherein the coating surrounding the core is impermeable to water and comprises a passageway for permeation of water from surrounding aqueous environment into the core.

(3) A controlled drug delivery system as defined in (1) above, wherein the coating is permeable.

(4) A controlled drug delivery system as defined in (1) above, wherein the coating is permeable to water from the surrounding environment, but impermeable to the contents of the core.

(5) A controlled drug delivery system as defined in (1) above, wherein the active ingredient composition comprises one or more active ingredients and a rate controlling excipient, and the swellable composition comprises a swellable excipient and optionally an active ingredient that may be same as or different from that present in the active ingredient composition, wherein the active ingredient composition and the swellable composition are present as a homogenous matrix.

~~(6) A controlled~~ drug delivery system as defined in (1) above, wherein the active ingredient composition comprises one or more active ingredients and a rate controlling excipient, and the swellable composition comprises a swellable excipient and optionally an active ingredient that may be same as or different from that present in the active ingredient composition,

wherein the active ingredient composition and the swellable composition are present as a heterogenous matrix.

(7) A controlled drug delivery system as defined in (1) above, wherein the core comprises the active ingredient composition in a first layer and the swellable composition in a second layer.

(8) A controlled drug delivery system as defined in (1), wherein the swellable composition forms a coating surrounding the active ingredient composition.

(9) A controlled drug delivery system as defined in (1), wherein the core comprises –

a. a first layer of active ingredient composition,

b. a second layer of active ingredient composition, and

c. a third layer of a swellable composition,

wherein the active ingredient compositions of the first and second layer may comprise active ingredients that are same or different.

(10) A controlled drug delivery system as defined in (1), wherein the active ingredient composition comprises rate controlling excipient selected from hydrophilic polymers such as methyl cellulose, hydroxypropyl methylcellulose, hydroxyethyl cellulose, hydroxypropyl cellulose, hydroxyethyl methylcellulose, carboxymethylcellulose and sodium carboxymethylcellulose; hydrophobic compounds such as ethyl cellulose, glycerol palmitostearate, beeswax, glycowax, castor wax, carnauba wax, glycerol monostearate, stearyl alcohol, glycerol behenic acid ester, cetyl alcohol, natural and synthetic glycerides, waxes, fatty acids, hydrophobic polyacrylamide derivatives, hydrophobic methacrylic acid derivatives; vinyl pyrrolidone polymers such as polyvinylpyrrolidone and copolymers of vinyl pyrrolidone and vinyl acetate; alkylene oxide homopolymers; gums of plant, animal, mineral or synthetic origin; and mixtures thereof.

(11) A controlled drug delivery system as defined in (1) above, wherein the swellable composition comprises swellable excipient comprising one or more components selected from vinylpyrrolidone polymers such as crospovidone; cellulose and cellulose derivatives such as carboxyalkyl celluloses, crosslinked carboxyalkylcelluloses and their alkali salts; sodium starch glycolate, starch and starch derivatives, resins and mixtures thereof.

(12) A controlled drug delivery system as defined in (11) above, wherein the swellable composition further comprises a wicking agent selected from cellulose and cellulose derivatives, colloidal silicon dioxide, and mixtures thereof.

(13) A controlled drug delivery system as defined in (1) above, wherein the coating immediately surrounding the core comprises one or more film-forming polymers selected from the group

consisting of water insoluble polymers, pH dependent polymers, a mixture of water soluble and water insoluble polymers, and mixtures thereof, and a plasticiser.

(14) A controlled drug delivery system as defined in (13) above, wherein the film-forming polymer used is a water insoluble polymer selected from ethyl cellulose, hydrophobic methacrylic acid derivatives, cellulose acetates, and mixtures thereof.

(15) A controlled drug delivery system as defined in (13) above, wherein the film-forming polymer used is a mixture of a water soluble polymer and a water insoluble polymer.

(16) A controlled drug delivery system as defined in (15) above, wherein the water soluble polymer is selected from methyl cellulose, hydroxypropyl methylcellulose, hydroxyethyl cellulose, hydroxypropyl cellulose, and the like, and the water insoluble polymer is selected from ethyl cellulose, hydrophobic methacrylic acid derivatives, and the like.

(17) A controlled drug delivery system as defined in (16) above, wherein the water soluble polymer is hydroxypropyl methylcellulose and the water insoluble polymer is ethyl cellulose.

(18) A controlled drug delivery system as defined in (1) above, wherein the core is compressed into a bilayer tablet; the first layer comprising the active ingredient composition having uninterrupted plain surfaces surrounded by coating or the second layer; and the second layer comprising the swellable composition having at least one surface interrupted by at least one depression or cavity.

(19) A controlled drug delivery system as defined in (1) above, wherein the outer enteric coat comprises one or more enteric polymers selected from anionic polymers of methacrylic acid and methacrylates with carboxylic group, cationic polymers of methacrylic acid and methacrylates with quarternary ammonium group, and mixtures thereof.

Dated this 19th day of September, 2003.

DILIP SHANGHVI,
CHAIRMAN AND MANAGING DIRECTOR,
SUN PHARMACEUTICAL INDUSTRIES LIMITED.